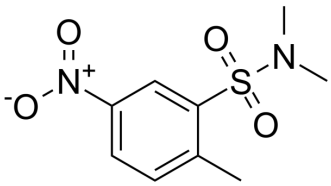
BRL-50481

Cat. No.:	HY-109586
CAS No.:	433695-36-4
Molecular Formula:	$C_9H_{12}N_2O_4S$
Molecular Weight:	244.27
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (409.38 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	4.0938 mL	20.4692 mL	40.9383 mL
5 mM	0.8188 mL	4.0938 mL	8.1877 mL
10 mM	0.4094 mL	2.0469 mL	4.0938 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BRL-50481 is a novel and selective inhibitor of PDE7 with IC_{50}s of 0.15, 12.1, 62 and 490 μM for PDE7A, PDE7B, PDE4 and PDE3, respectively.

IC_{50} & Target

IC50: 0.15 μM (PDE7A), 12 μM (PDE7B), 62 μM (PDE4), 490 μM (PDE3)[1]

In Vitro

BRL-50481 increases the cAMP content (19.1±6.2% of IBMX response at 300 μM) but is considerably less potent. BRL-50481 (30 μM) fails to suppress proliferation by itself but significantly potentiates the effect of rolipram. BRL-50481 (30 μM) has no effect on IL-15-induced proliferation but augments the inhibitory effect of rolipram. Pretreatment (30 min) of human monocytes with BRL-50481 has, by itself, a negligible (~2 to 10%) inhibitory effect on TNFα output at all concentrations tested. BRL-50481 also potentiates the inhibitory effect of PGE_2 on LPS-induced TNFα release. BRL-50481 has no significant effect by itself on κB-dependent transcription (5.6±1.9% inhibition at 30 μM) and fails to enhance the effect of rolipram (maximum inhibition, 52.9±2.7%; pIC_{30} value of 5.33±0.12). BRL-50481 suppresses, in a concentration-dependent manner, LPS-induced TNFα release in monocytes in which PDE7A1 is induced (21.7±1.6% inhibition at 30 μM at the 12-h time point)[2]

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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]

MOLT-4 cells in 96-well plates are treated for 30 min with BRL-50481 as indicated. The cAMP content is then determined by an immunospecific ELISA. Results are expressed as a percentage of the response affected by 100 μM IBMX[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Safavi M, et al. New methods for the discovery and synthesis of PDE7 inhibitors as new drugs for neurologicaland inflammatory disorders. Expert Opin Drug Discov. 2013 Jun;8(6):733-51.

[2]. Smith SJ, et al. Discovery of BRL 50481 [3-(N,N-dimethylsulfonamido)-4-methyl-nitrobenzene], a selective inhibitor of phosphodiesterase 7: in vitro studies in human monocytes, lung macrophages, and CD8+ T-lymphocytes. Mol Pharmacol. 2004 Dec;66(6):1679-89

Caution: Product has not been fully validated for medical applications. For research use only.

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